

REVISED VERSION

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
29 July 2004 (29.07.2004)

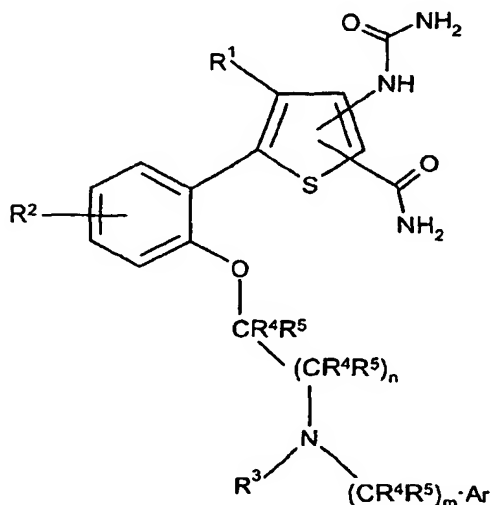
PCT

(10) International Publication Number
WO 2004/063185 A1

- (51) International Patent Classification⁷: C07D 333/38, 409/12, A61K 31/4436, A61P 29/00
- (21) International Application Number:
PCT/GB2004/000106
- (22) International Filing Date: 13 January 2004 (13.01.2004)
- (25) Filing Language: English
- (26) Publication Language: English
- (30) Priority Data:
0300091-6 15 January 2003 (15.01.2003) SE
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- (81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).
- Published:
— with international search report
— before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments
- (88) Date of publication of the revised international search report:
23 September 2004

[Continued on next page]

(54) Title: THIOPHENE CARBOXAMIDES AS INHIBITORS OF THE ENZYME IKK-2



(I)

(57) Abstract: The invention relates to thiophene carboxamides of formula (I) wherein Ar, R1, R2, R3, R4, R5, m and n are as defined in the specification, processes and intermediates used in their preparation, pharmaceutical compositions containing them and their use in therapy.



(15) Information about Correction:

see PCT Gazette No. 39/2004 of 23 September 2004, Section II

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

INTERNATIONAL SEARCH REPORT

International Application No
PCT/GB2004/000106

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07D333/38 C07D409/12 A61K31/4436 A61P29/00

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07D A61K A61P

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data, PAJ, BEILSTEIN Data, CHEM ABS Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	WO 01/58890 A (BAXTER ANDREW ; BROUGH STEPHEN (GB); FAULL ALAN (GB); JOHNSTONE CRAIG) 16 August 2001 (2001-08-16) cited in the application Abstract; claims 1,8,14-19; examples.	1-17
P,A	WO 03/010158 A (POYSER JEFFREY PHILIP ; MORLEY ANDREW (GB); FAULL ALAN (GB); JOHNSTONE) 6 February 2003 (2003-02-06) Abstract; claim 1.	1-17

☐ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

* Special categories of cited documents :

- "A" document defining the general state of the art which is not considered to be of particular relevance
- "E" earlier document but published on or after the international filing date
- "L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- "O" document referring to an oral disclosure, use, exhibition or other means
- "P" document published prior to the international filing date but later than the priority date claimed

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- "X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- "Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art
- "A" document member of the same patent family

Date of the actual completion of the international search

19 May 2004

Date of mailing of the international search report

06. 08. 2004

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INTERNATIONAL SEARCH REPORT

International application No.
PCT/GB2004/000106

Box II Observations where certain claims were found unsearchable (Continuation of item 2 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:
Although claim 17 is directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compounds.
2. ☐ Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box III Observations where unity of invention is lacking (Continuation of item 3 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/GB2004/000106

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
WO 0158890	A	16-08-2001	AT 266019 T	15-05-2004
			AU 3070501 A	20-08-2001
			BR 0108143 A	21-01-2003
			CA 2396824 A1	16-08-2001
			CN 1425012 T	18-06-2003
			DE 60103132 D1	09-06-2004
			EP 1261600 A1	04-12-2002
			JP 2003522766 T	29-07-2003
			NO 20023786 A	23-09-2002
			NZ 519947 A	28-05-2004
			WO 0158890 A1	16-08-2001
			US 2002107252 A1	08-08-2002
			ZA 200205300 A	02-10-2003

WO 03010158	A	06-02-2003	CA 2454703 A1	06-02-2003
			EP 1421074 A1	26-05-2004
			WO 03010158 A1	06-02-2003
